

10/561,838

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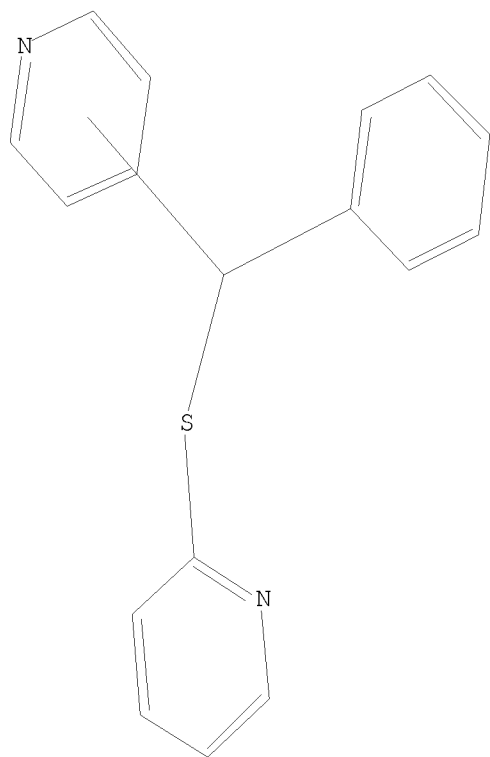
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

10/923,271

SAMPLE SEARCH INITIATED 15:29:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 309 TO ITERATE

100.0% PROCESSED 309 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5126 TO 7234
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

L3 0 L2

=> s l1 sss full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 15:29:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5936 TO ITERATE

100.0% PROCESSED 5936 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L4 8 SEA SSS FUL L1

L5 4 L4

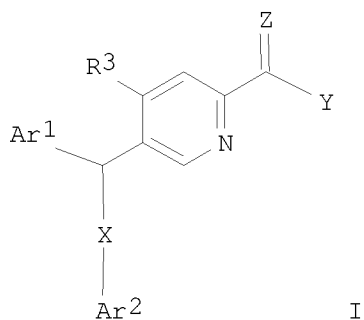
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THE ESTIMATED COST FOR THIS REQUEST IS 22.56 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:608265 CAPLUS
DOCUMENT NUMBER: 148:585731
TITLE: Preparation of phenylsulfonylmethylpyridine and
phenylsulfinylmethylpyridine derivatives as inhibitors
of β amyloid protein production
INVENTOR(S): Miyauchi, Satoru; Kubota, Hideki; Motoki, Kayoko; Ito,
Masayuki
PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 149pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

10/923,271

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008115166	A	20080522	JP 2007-262868	20071009
PRIORITY APPLN. INFO.:			JP 2006-276719	A 20061010
OTHER SOURCE(S):	MARPAT	148:585731		
GI				



AB The title compds. I [Ar1 = Ph having substituent; Ar2 = (un)substituted Ph, (un)substituted heterocyclic group; X = S, SO, SO2; Y = H, NR1R2, OR1'; R1 = H, alkyl, OH; R2 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R1' = H, (un)substituted alkyl; Z = O, S; R3 = H, alkyl, halo] are prepared. Thus, 5-[[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)methyl]-N,4-dimethylpyridine-2-carboxamide was prepared in a multistep process starting from 2,5-dibromo-4-methylpyridine and 2,5-difluorobenzaldehyde. The β amyloid protein production inhibiting activity of compds. of this invention was demonstrated.

IT 913090-90-1P

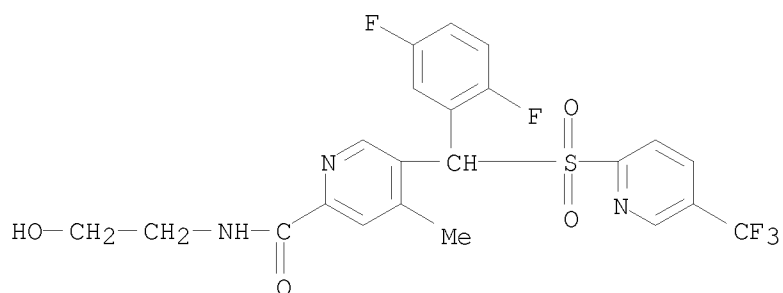
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylsulfonylmethylpyridine and phenylsulfinylmethylpyridine derivs. as inhibitors of β amyloid protein production)

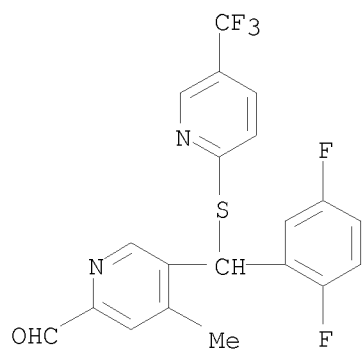
RN 913090-90-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]methyl]-N-(2-hydroxyethyl)-4-methyl- (CA INDEX NAME)

10/923,271

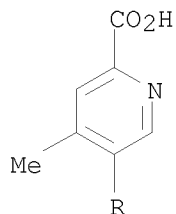
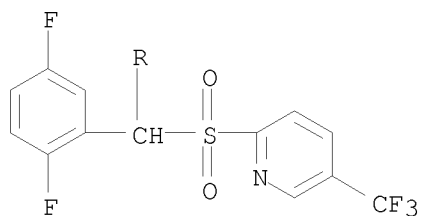


IT 913090-88-7P 913090-89-8P 913091-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of phenylsulfonylmethylpyridine and
phenylsulfinylmethylpyridine derivs. as inhibitors of β amyloid
protein production)
RN 913090-88-7 CAPLUS
CN 2-Pyridinecarboxaldehyde, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-
pyridinyl]thio]methyl]-4-methyl- (CA INDEX NAME)



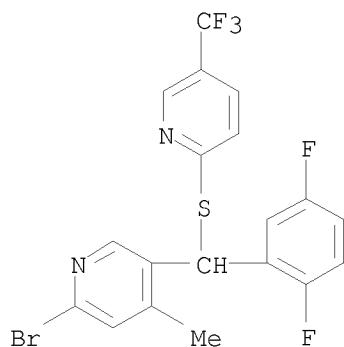
RN 913090-89-8 CAPLUS
CN 2-Pyridinecarboxylic acid, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-
pyridinyl]sulfonyl]methyl]-4-methyl- (CA INDEX NAME)

10/923,271



RN 913091-80-2 CAPLUS

CN Pyridine, 2-bromo-5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]thio]methyl]-4-methyl- (CA INDEX NAME)



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1093716 CAPLUS

DOCUMENT NUMBER: 145:438535

TITLE: Preparation of pyridylmethylsulfone derivatives as inhibitors of production/secretion of β -amyloid protein

INVENTOR(S): Miyauchi, Satoru; Kubota, Hideki; Motoki, Kayoko; Ito, Masayuki

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 191pp.

CODEN: PIXXD2

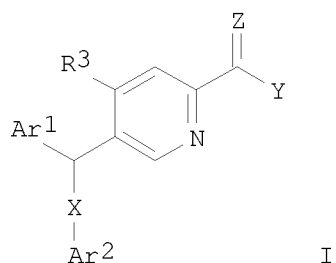
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006109729	A1	20061019	WO 2006-JP307464	20060407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006234441	A1	20061019	AU 2006-234441	20060407
CA 2603320	A1	20061019	CA 2006-2603320	20060407
EP 1867636	A1	20071219	EP 2006-731411	20060407
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
ZA 2007008531	A	20090225	ZA 2007-8531	20060407
IN 2007DN07326	A	20071102	IN 2007-DN7326	20070924
US 20090149439	A1	20090611	US 2007-910500	20071002
MX 2007012490	A	20071109	MX 2007-12490	20071005
KR 2007116865	A	20071211	KR 2007-722896	20071008
CN 101163678	A	20080416	CN 2006-80011439	20071008
NO 2007005080	A	20071105	NO 2007-5080	20071009
PRIORITY APPLN. INFO.:			JP 2005-112802	A 20050408
			JP 2005-367976	A 20051221
			WO 2006-JP307464	W 20060407
OTHER SOURCE(S):	MARPAT 145:438535			
GI				



AB The title compds. I [Ar1 = Ph having substituents; Ar2 = (un)substituted Ph, (un)substituted heterocyclyl; Y = H, NR1R2, etc.; R1 = H, alkyl, OH; R2 = H, (un)substituted alkyl, (un)substituted alkoxy carbonyl, etc.; R3 = H, alkyl, halo; X = S, SO, SO2; Z = O, S] are prepared Thus, 5-[[(4-chlorophenyl)sulfonyl] (2,5-difluorophenyl)methyl]-N,4-dimethylpyridine-2-carboxamide was prepared in a multistep process from 2,5-dibromo-4-methylpyridine and 2,5-difluorobenzaldehyde. In an assay for the inhibiting activity against the production of β -amyloid protein, many compds. of this invention showed EC50 values \leq 5 nM.

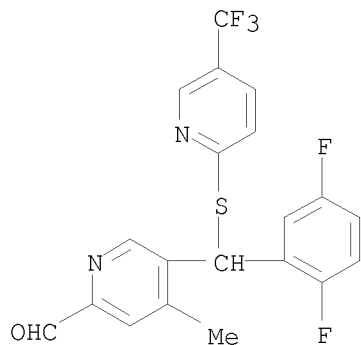
10/923,271

IT 913090-88-7P 913090-89-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridylmethylsulfone derivs. as inhibitors of production/secretion of β -amyloid protein)

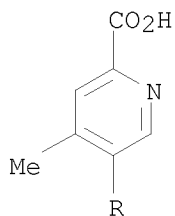
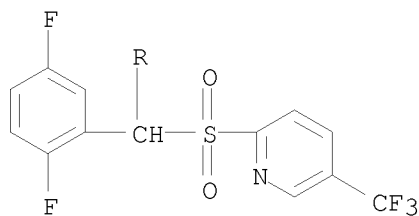
RN 913090-88-7 CAPLUS

CN 2-Pyridinecarboxaldehyde, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]thio]methyl]-4-methyl- (CA INDEX NAME)



RN 913090-89-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]methyl]-4-methyl- (CA INDEX NAME)



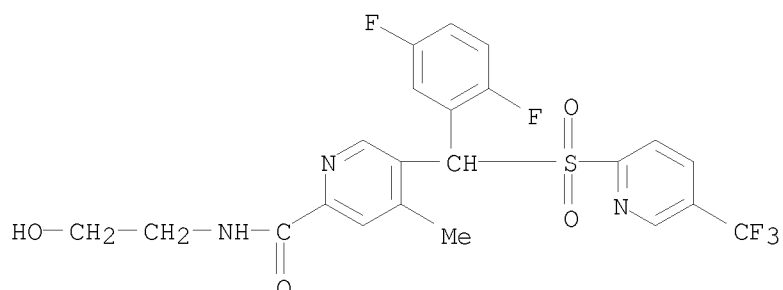
IT 913090-90-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylmethylsulfone derivs. as inhibitors of production/secretion of β -amyloid protein)

10/923,271

RN 913090-90-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]methyl]-N-(2-hydroxyethyl)-4-methyl- (CA INDEX NAME)



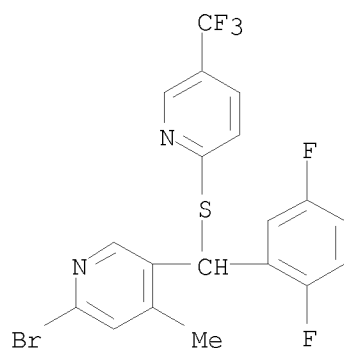
IT 913091-80-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridylmethylsulfone derivs. as inhibitors of production/secretion of β -amyloid protein)

RN 913091-80-2 CAPLUS

CN Pyridine, 2-bromo-5-[(2,5-difluorophenyl)[[5-(trifluoromethyl)-2-pyridinyl]thio]methyl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:14361 CAPLUS

DOCUMENT NUMBER: 142:113905

TITLE: Preparation of heterocyclic methyl sulfone derivatives as β -amyloid protein secretion and production inhibitors

INVENTOR(S): Kubota, Hideki; Yasukouchi, Takanori; Miyauchi, Satoru; Motoki, Kayoko; Saito, Masanori; Iimori, Hitoshi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 345 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000798	A1	20050106	WO 2004-JP9132	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004251987	A1	20050106	AU 2004-251987	20040629
CA 2526487	A1	20050106	CA 2004-2526487	20040629
EP 1640366	A1	20060329	EP 2004-746601	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1812964	A	20060802	CN 2004-80016999	20040629
CN 100378072	C	20080402		
ZA 2005009613	A	20070530	ZA 2005-9613	20040629
RU 2336270	C2	20081020	RU 2005-141552	20040629
NO 2005005921	A	20060124	NO 2005-5921	20051213
MX 2005013631	A	20060224	MX 2005-13631	20051214
US 20060241302	A1	20061026	US 2005-561838	20051222
KR 2006066057	A	20060615	KR 2005-725070	20051227
HK 1090362	A1	20081128	HK 2006-110974	20061004
PRIORITY APPLN. INFO.:			JP 2003-187796	A 20030630
			JP 2004-99151	A 20040330
			JP 2003-99151	A 20040330
			WO 2004-JP9132	W 20040629

OTHER SOURCE(S): MARPAT 142:113905

AB The title compds. R1R2R4CXR3 (R1 represents an optionally substituted heterocyclic group; R2 represents an optionally substituted cyclic hydrocarbon group or optionally substituted heterocyclic group; R3 represents an optionally substituted cyclic hydrocarbon group or optionally substituted heterocyclic group; R4 represents hydrogen or C1-6 alkyl; and X represents S, SO, or SO2), N-oxides thereof, S-oxides thereof, salts thereof, or solvates thereof are prepared
 2-[[[(4-Chlorophenyl)sulfonyl](cyclohexyl)methyl]-1,4-difluorobenzene was prepared in several steps from 2,5-difluorobenzyl alc. and 4-chlorobenzenethiol. In an in vitro assay for β -amyloid protein production inhibiting activity, compds. of this invention showed IC50 values of ≤ 5 nM to 500 nM.

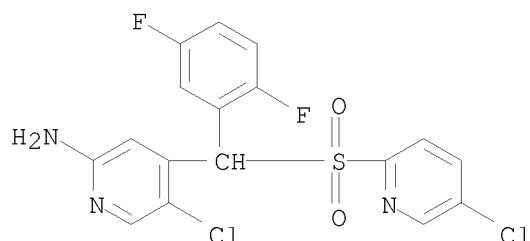
IT 820223-95-8P 820223-96-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/923,271

(preparation of heterocyclic Me sulfone derivs. as β -amyloid protein secretion and production inhibitors)

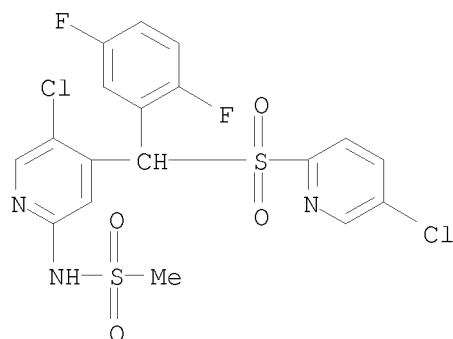
RN 820223-95-8 CAPLUS

CN 2-Pyridinamine, 5-chloro-4-[[(5-chloro-2-pyridinyl)sulfonyl] (2,5-difluorophenyl)methyl]- (CA INDEX NAME)



RN 820223-96-9 CAPLUS

CN Methanesulfonamide, N-[5-chloro-4-[[(5-chloro-2-pyridinyl)sulfonyl] (2,5-difluorophenyl)methyl]-2-pyridinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:532638 CAPLUS

DOCUMENT NUMBER: 139:101146

TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or heterocyclyl sulfones as β -amyloid protein production/secretion inhibitors

INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki; Miyauchi, Satoshi; Saito, Masaki

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 540 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055850	A1	20030710	WO 2002-JP13792	20021227
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2471943	A1	20030710	CA 2002-2471943	20021227
AU 2002367147	A1	20030715	AU 2002-367147	20021227
EP 1466898	A1	20041013	EP 2002-790937	20021227
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1585746	A	20050223	CN 2002-827790	20021227
RU 2304140	C2	20070810	RU 2004-122915	20021227
JP 4329905	B2	20090909	JP 2003-556382	20021227
US 20050234109	A1	20051020	US 2004-500156	20040625
US 7399775	B2	20080715		
US 20070293495	A1	20071220	US 2007-829533	20070727
PRIORITY APPLN. INFO.:			JP 2001-395701	A 20011227
			WO 2002-JP13792	W 20021227
			US 2004-500156	A3 20040625

OTHER SOURCE(S): MARPAT 139:101146

AB Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cyano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(O), SO2, COCO, COC(S), C(S)C(S); Q52 = single bond, O, ON(A51), ON(COA51), N(A51), N(COA51), N(CO2A51), N[CON(A51)(A52)], N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N, C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, OA53, N(A53)(A54), SA53, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -Q51-Q52-Q53-Q54 defined in R5-R7; X1 = O, S; X2 = SO, SO2; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbyl or heterocyclyl] are prepared. These compds. have an effect of inhibiting the production/secretion of a β -amyloid protein and are useful for the prevention or treatment of diseases caused by unusual production/secretion of β -amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a solution of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine (preparation given) and 200 μ L morpholine in 1.0 mL 1,4-dioxane was stirred at 100° for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine which (90 mg) was dissolved in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH4)6Mo7O24.4H2O] and 6 mL 30% H2O2, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human

10/923,271

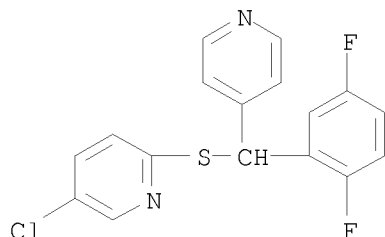
β -amyloid protein precursor protein gene (APP751 gene) with EC50 of ≤ 50 nM.

IT 558463-33-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β -amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558463-33-5 CAPLUS

CN Pyridine, 5-chloro-2-[[(2,5-difluorophenyl)-4-pyridinylmethyl]thio]- (CA INDEX NAME)

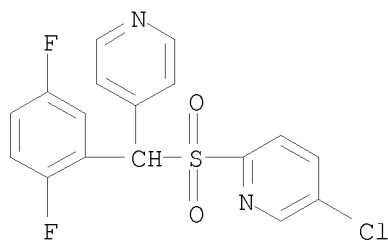


IT 558463-34-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β -amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558463-34-6 CAPLUS

CN Pyridine, 5-chloro-2-[[(2,5-difluorophenyl)-4-pyridinylmethyl]sulfonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT